

PCTWORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07B 37/00	A1	(11) International Publication Number: WO 97/43230 (43) International Publication Date: 20 November 1997 (20.11.97)
(21) International Application Number: PCT/SE97/00794 (22) International Filing Date: 14 May 1997 (14.05.97) (30) Priority Data: 9601856-9 14 May 1996 (14.05.96) SE 9603913-6 25 October 1996 (25.10.96) SE (71) Applicant (for all designated States except US): LABWELL AB [SE/SE]; Hamnplan 9, S-753 19 Uppsala (SE). (72) Inventors; and (75) Inventors/Applicants (for US only): LINDEBERG, Gunnar [SE/SE]; Murargatan 31, S-754 37 Uppsala (SE). LARHED, Mats [SE/SE]; St. Johannesgatan 82, S-752 64 Uppsala (SE). HALLBERG, Anders [SE/SE]; Björklundavägen 4 A, S-756 46 Uppsala (SE). (74) Agents: ALDENBÄCK, Ulla et al.; Dr. Ludwig Brann Patentbyrå AB, P.O. Box 1344, S-751 43 Uppsala (SE).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>
(54) Title: METHOD FOR ORGANIC REACTIONS (57) Abstract The present invention relates to a method for organic reactions. More specifically, a method for palladium, except Pd/C, catalyzed organic reactions comprising a heating step with microwave energy. One type of organic reactions concerned are coupling reactions, in which new carbon-carbon bonds are formed. Preferred reactions are the Heck, Stille and Suzuki reactions. The method provides high yields in very short reaction times.		

CLAIMS

1. A method for transition metal catalyzed organic reactions comprising a heating step performed with microwave energy, **characterized in** that the organic reactions are catalyzed with palladium except Pd/C.

2. A method according to claim 1, **wherein** the soluble palladium catalyzed reactions are:



in which Org is an organic compound and

Org¹ is aryl, heteroaryl, vinyl, acetylenyl, alkyl, allyl, benzyl, acyl, benzoyl, or mono or poly substituted aryl, heteroaryl, vinyl, acetylenyl, alkyl, allyl, benzyl, benzoyl;

X is a halide, triflate, mesitylate, nonaflate, carbonylhalide, sulfonylhalide, perfluoroalkylsulfonate, arylphosphate, alkylphosphate, diarylarsine, diarylphosphine, diarylstibine, arylodonium or diazonium salt;

the double bond in the H-olefin is unsubstituted or mono, di or tri substituted;

R¹ is alkyl, aryl, heteroaryl or mono or poly substituted alkyl, aryl or heteroaryl;

Org² = Org³ and is aryl, heteroaryl, vinyl, acetylenyl, alkyl, allyl, benzyl or mono or poly substituted aryl, heteroaryl, vinyl, acetylenyl, alkyl, allyl, benzyl;

R² is OH, alkoxy, aryloxy or heteroaryloxy.

3. A method according to claims 1 or 2, **wherein** the reactions are performed in solution.

4. A method according to claims 1 or 2, **wherein** the reactions are performed on solid support.
5. A method according to claim 4, **wherein** Org¹, Org² or H-olefin are attached to a solid support.
6. A method according to claim 5, **wherein** Org¹, Org² or H-olefin are attached to a solid support via a linker.
7. A method according to any of the above claims, **wherein** the organic reactions are C-C coupling reactions.
8. A method according to any of the above claims, **wherein** said coupling reactions form part of a combinatorial chemistry process.
9. A method according to any of the above claims, **wherein** the microwave energy is solely or predominantly in the form of a standing wave.
10. Use of microwave-assisted palladium catalyzed reactions for combinatorial chemistry.
11. Use of microwave-assisted palladium catalyzed reactions for creation of chemical libraries.

1
INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE 97/00794

A. CLASSIFICATION OF SUBJECT MATTER		
IPC6: C07B 37/00 According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED		
Minimum documentation searched (classification system followed by classification symbols)		
IPC6: C07B		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
SE,DK,FI,NO classes as above		
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)		
CA, WPI		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	Tetrahedron Letters, Volume 33, No 15, 1992, Frantisek Adamek et al., "Microwave-Assisted Catalytic Addition of Halocompounds to Alkenes" --	1-11
A	SYNLETT, Volume 8, August 1993, Ajay K. Bose et al., "Simplified Rapid Hydrogenation Under Microwave Irradiation: Selective Transformations of B-Lactams1" page 575 - page 576 --	1-11
A	US 4574038 A (JEFFREY K.S. WAN), 4 March 1986 (04.03.86) -- -----	1-11
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex.		
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"&" document member of the same patent family</p> </div> </div>		
Date of the actual completion of the international search		Date of mailing of the international search report
19 August 1997		25 -08- 1997
Name and mailing address of the ISA/ Swedish Patent Office Box 5055, S-102 42 STOCKHOLM Facsimile No. +46 8 666 02 86		Authorized officer Eva Johansson Telephone No. +46 8 782 25 00

INTERNATIONAL SEARCH REPORT
Information on patent family members

06/08/97

International application No.
PCT/SE 97/00794

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 4574038 A	04/03/86	NONE	